

was established. Cyclosporin was analyzed quantitatively using a radio-immunoassay kit (Sandoz Ltd.)

EXPERIMENT 2

The emulsion prepared in Example 4 or Sandimmun Drink Solution (Sandoz Pharmaceutical Co. Ltd. & Sankyo Co., Ltd., which was used as control and which is available commercially), each containing cyclosporin, was administered orally at a dose of 10 mg/kg (calculated as cyclosporin) to a Beagle dog which had been previously fasted. Blood samples were taken at the times shown in Table 4 below to determine the blood cyclosporin levels, using a radioimmunoassay kit (Sandoz Ltd.).

TABLE 4

Time after administration	Blood cyclosporin level [ng/ml] (the mean value from 2 dogs)						24 hours
	0	1	2	3	4	6	
Sample							
Sandimmun Drink Solution	<30	<30	310	310	280	180	40
Emulsion of Example 4	<30	210	370	580	480	350	40

It was demonstrated that the emulsion of this invention brought about good absorbability compared with the control.

As will be appreciated from the foregoing description and, in particular, the above Examples illustrating the present invention, the specific teachings of the present invention enable the preparation of pharmaceutical compositions comprising cyclosporins in solution in selected mono- and di-glycerides, which are capable of directly forming aqueous emulsions, as well as pharmaceutical compositions comprising such aqueous emulsions, without any need for any additional co-solvent component for the cyclosporin, e.g. without the need for the addition of ethanol or of any other solubiliser for the cyclosporin.

In a particular aspect, the present invention also provides: a pharmaceutical composition in accordance with the invention, e.g. as herein described, claimed or exemplified, which is free or substantially free from ethanol and/or from any trans-esterification product of a vegetable oil (whether natural or hydrogenated) tri-glyceride and a polyalkylene polyol.

Preferably the compositions in accordance with this aspect of the present invention are free or substantially free from any further solubiliser or co-solubiliser for the cyclosporin.

We claim:

1. A pharmaceutical composition comprising at least one cyclosporin in admixture with an amount of at least one mono- or di-glyceride of a C₆-C₁₀ fatty acid sufficient to dissolve the cyclosporin.

2. The composition of claim 1, wherein said fatty acid has from 8 to 10 carbon atoms.

3. The composition of claim 1, wherein said fatty acid has 8 carbon atoms.

4. The composition of claim 1, wherein said fatty acid is at least one acid selected from the group consisting of caproic acid, 4-methylpentanoic acid, enanthic acid, 5-methylhexanoic acid, 2-ethylhexanoic acid, caprylic acid, 6-methylheptanoic acid, pelargonic acid, capric acid and 8-methylnonanoic acid.

5. The composition of claim 1, wherein said fatty acid is at least one acid selected from the group consisting of caproic acid, caprylic acid and capric acid.

6. The composition of claim 1, wherein said glyceride is a diglyceride.

7. The composition of claim 2, wherein said glyceride is a diglyceride.

8. The composition of claim 3, wherein said glyceride is a diglyceride.

9. The composition of claim 4, wherein said glyceride is a diglyceride.

10. The composition of claim 1, wherein the weight ratio of the glyceride to the cyclosporin is from 1:0.1 to 1:1.

11. The composition of claim 1, wherein the weight ratio of the glyceride to the cyclosporin is from 1:0.1 to 1:0.5.

12. The composition of claim 1, wherein the weight ratio of the glyceride to the cyclosporin is from 1:0.25 to 1:0.5.

13. A pharmaceutical composition comprising an oily solution or aqueous emulsion of at least one cyclosporin in admixture with an amount of at least one mono- or diglyceride of a C₆-C₁₀ fatty acid sufficient to dissolve the cyclosporin.

14. The composition of claim 13, wherein said fatty acid has from 8 to 10 carbon atoms.

15. The composition of claim 13, wherein said fatty acid has 8 carbon atoms.

16. The composition of claim 13, wherein said fatty acid is at least one acid selected from the group consisting of caproic acid, 4-methylpentanoic acid, enanthic acid, 5-methylhexanoic acid, 2-ethylhexanoic acid, caprylic acid, 6-methylheptanoic acid, pelargonic acid, capric acid and 8-methylnonanoic acid.

17. The composition of claim 13, wherein said fatty acid is at least one acid selected from the group consisting of caproic acid, caprylic acid and capric acid.

18. The composition of claim 13, wherein said glyceride is a diglyceride.

19. The composition of claim 14, wherein said glyceride is a diglyceride.

20. The composition of claim 15, wherein said glyceride is a diglyceride.

21. The composition of claim 16, wherein said glyceride is a diglyceride.

22. The composition of claim 13, wherein the weight ratio of the glyceride to the cyclosporin is from 1:0.1 to 1:1.

23. The composition of claim 13, wherein the weight ratio of the glyceride to the cyclosporin is from 1:0.1 to 1:0.5.

24. The composition of claim 13, wherein the weight ratio of the glyceride to the cyclosporin is from 1:0.25 to 1:0.5.

25. The composition of claim 13, wherein the concentration of cyclosporin is from 0.1 to 500 mg/ml.

26. The composition of claim 25, wherein said concentration is from 0.2 to 200 mg/ml.

27. The composition of claim 13, wherein non-aqueous components are present in amounts of about 50% by weight or less of the whole composition.

28. The composition of claim 13, wherein non-aqueous components are present in amounts of about 25% or less of the whole composition.

29. A method of suppressing the mammalian immune system by administering to a mammal an oily solution or aqueous emulsion comprising an effective amount of at